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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Dec 17	The CA Lexicon available in the CAPLUS and CA files
NEWS 3	Feb 06	Engineering Information Encompass files have new names
NEWS 4	Feb 16	TOXLINE no longer being updated
NEWS 5	Apr 23	Search Derwent WPINDEX by chemical structure
NEWS 6	Apr 23	PRE-1967 REFERENCES NOW SEARCHABLE IN CAPLUS AND CA
NEWS 7	May 07	DGENE Reload
NEWS 8	Jun 20	Published patent applications (A1) are now in USPATFULL
NEWS 9	JUL 13	New SDI alert frequency now available in Derwent's DWPI and DPCI
NEWS 10	Aug 23	In-process records and more frequent updates now in MEDLINE
NEWS 11	Aug 23	PAGE IMAGES FOR 1947-1966 RECORDS IN CAPLUS AND CA
NEWS 12	Aug 23	Adis Newsletters (ADISNEWS) now available on STN
NEWS 13	Sep 17	IMSworld Pharmaceutical Company Directory name change to PHARMASEARCH
NEWS 14	Oct 09	Korean abstracts now included in Derwent World Patents Index
NEWS 15	Oct 09	Number of Derwent World Patents Index updates increased
NEWS 16	Oct 15	Calculated properties now in the REGISTRY/ZREGISTRY File
NEWS 17	Oct 22	Over 1 million reactions added to CASREACT
NEWS 18	Oct 22	DGENE GETSIM has been improved
NEWS 19	Oct 29	AAASD no longer available
NEWS 20	Nov 19	New Search Capabilities USPATFULL and USPAT2
NEWS 21	Nov 19	TOXCENTER(SM) - new toxicology file now available on STN
NEWS 22	Nov 29	COPPERLIT now available on STN
NEWS 23	Nov 29	DWPI revisions to NTIS and US Provisional Numbers
NEWS 24	Nov 30	Files VETU and VETB to have open access
NEWS 25	Dec 10	WPINDEX/WPIDS/WPIX New and Revised Manual Codes for 2002
NEWS 26	Dec 10	DGENE BLAST Homology Search
NEWS 27	Dec 17	WELDASEARCH now available on STN
NEWS 28	Dec 17	STANDARDS now available on STN
NEWS 29	Dec 17	New fields for DPCI
NEWS 30	Dec 19	CAS Roles modified
NEWS 31	Dec 19	1907-1946 data and page images added to CA and CAplus

NEWS EXPRESS	August 15 CURRENT WINDOWS VERSION IS V6.0c, CURRENT MACINTOSH VERSION IS V6.0 (ENG) AND V6.0J (JP), AND CURRENT DISCOVER FILE IS DATED 07 AUGUST 2001
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
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NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 16:08:32 ON 27 DEC 2001

=> file registry

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.15	0.15

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STRUCTURE FILE UPDATES: 26 DEC 2001 HIGHEST RN 378741-70-9
DICTIONARY FILE UPDATES: 26 DEC 2001 HIGHEST RN 378741-70-9

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

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Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 9777920.str

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 16:09:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 280 TO ITERATE

100.0% PROCESSED 280 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4597 TO 6603
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED - 5818 TO ITERATE

100.0% PROCESSED 5818 ITERATIONS 15 ANSWERS
SEARCH TIME: 00.00.02

L3 15 SEA SSS FUL L1

=> file uspatfull
COST IN U.S. DOLLARS

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FULL ESTIMATED COST	133.56	133.71

FILE 'USPATFULL' ENTERED AT 16:09:18 ON 27 DEC 2001
CA INDEXING COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 27 Dec 2001 (20011227/PD)
FILE LAST UPDATED: 27 Dec 2001 (20011227/ED)
HIGHEST GRANTED PATENT NUMBER: US6330719
HIGHEST APPLICATION PUBLICATION NUMBER: US2001056584
CA INDEXING IS CURRENT THROUGH 27 Dec 2001 (20011227/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 27 Dec 2001 (20011227/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2001
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2001

>>> Page images are available for patents from 1/1/1998. Patents <<<
>>> and applications are typically loaded on the day of publication.<<<
>>> Page images are available for display by the following day. <<<
>>> Image data for the /FA field are available the following update.<<<

>>> Complete CA file indexing for chemical patents (or equivalents) <<<
>>> is included in file records. A thesaurus is available for the <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL <<<
>>> fields. This thesaurus includes catchword terms from the <<<
>>> USPTO/MOC subject headings and subheadings. Thesauri are also <<<
>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l3
L4 0 L3

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	1.40	135.11

FILE 'CAPLUS' ENTERED AT 16:09:25 ON 27 DEC 2001
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26, 1996), unless otherwise indicated in the original publications.

FILE COVERS 1907 - 27 Dec 2001 VOL 135 ISS 26
FILE LAST UPDATED: 26 Dec 2001 (20011226/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

CAplus now provides online access to patents and literature covered in CA from 1907 to the present. Bibliographic information and abstracts were added in 2001 for over 3.8 million records from 1907-1966.

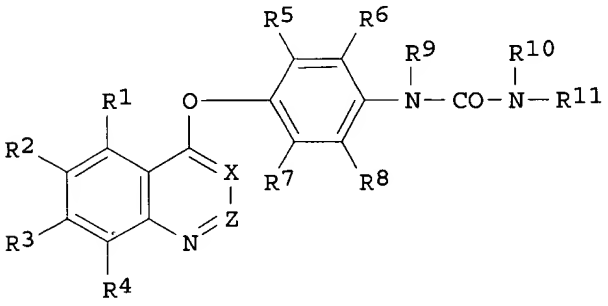
CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

=> s l3
L5 3 L3

=> d abs bib hitstr 1-3

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2001 ACS
GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or

aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5,R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

AN 2000:513673 CAPLUS
DN 133:135235
TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines
IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki
PA Kirin Beer Kabushiki Kaisha, Japan
SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000007656	A	20011030	BR 2000-7656	20000120
	EP 1153920	A1	20011114	EP 2000-900841	20000120
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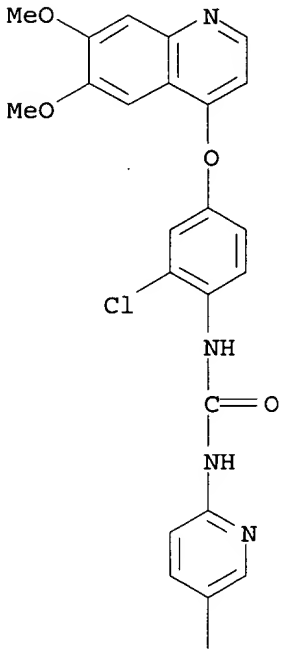
	NO 2001002617	A	20010914	NO 2001-2617	20010529
PRAI	JP 1999-14858	A	19990122		
	JP 1999-26691	A	19990203		
	JP 1999-142493	A	19990521		
	JP 1999-253624	A	19990907		
	WO 2000-JP255	W	20000120		

OS MARPAT 133:135235
IT **286369-67-3P 286369-69-5P 286369-73-1P**
286369-74-2P 286369-81-1P 286369-82-2P
286369-86-6P 286369-87-7P 286369-88-8P
286369-97-9P 286370-38-5P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antitumor activity of quinolines and quinazolines)
RN 286369-67-3 CAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

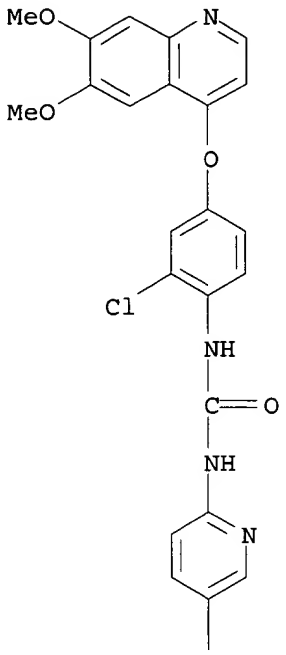
PAGE 1-A



PAGE 2-A

RN 286369-69-5 CAPLUS
CN Urea, N-(5-bromo-2-pyridinyl)-N'-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

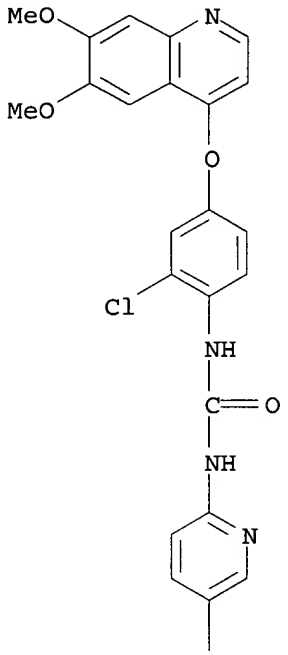


PAGE 2-A

Br

RN 286369-73-1 CAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-N'-(5-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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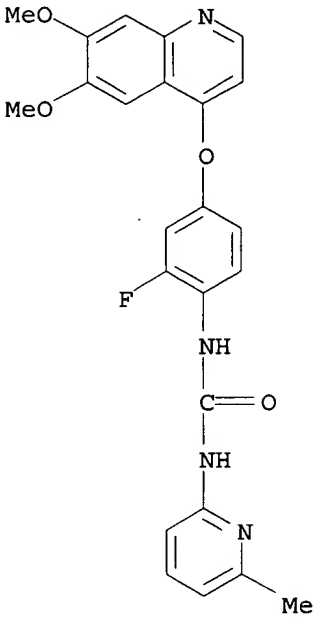
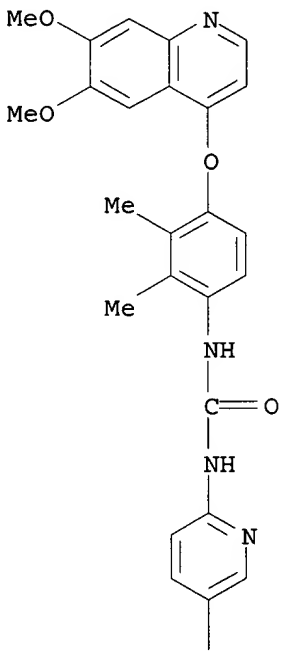


RN 286369-81-1 CAPLUS
CN Urea, N-(5-chloro-2-pyridinyl)-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

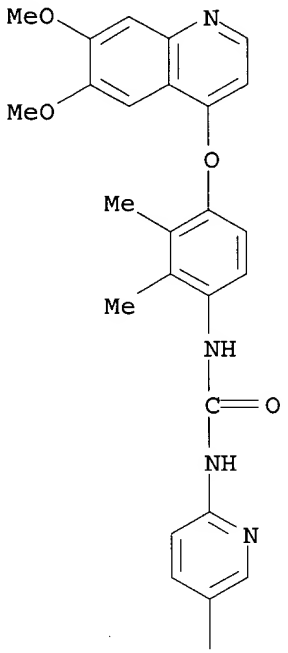


PAGE 2-A

Cl

RN 286369-82-2 CAPLUS
CN Urea, N-(5-bromo-2-pyridinyl)-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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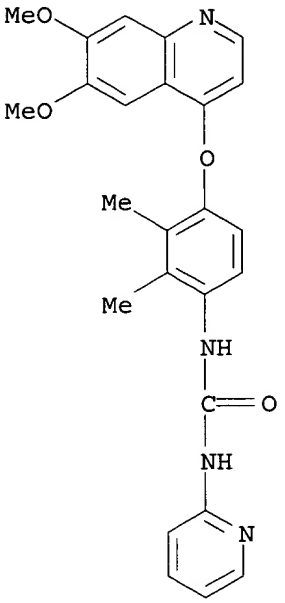

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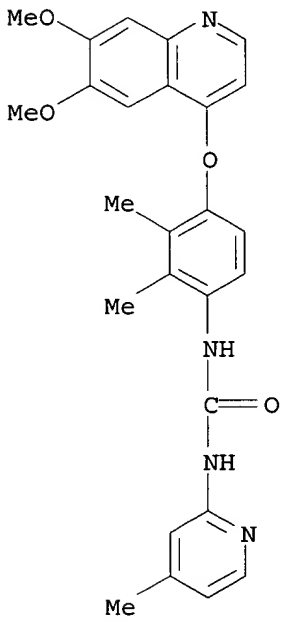
CN Urea, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]-N'-(4-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 286369-88-8 CAPLUS
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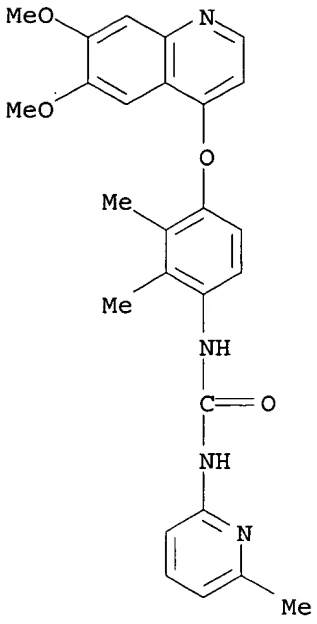
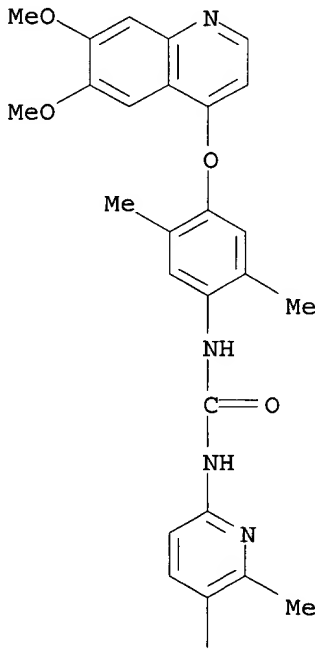


RN 286369-97-9 CAPLUS
CN Urea, N-(5-bromo-6-methyl-2-pyridinyl)-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,5-dimethylphenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

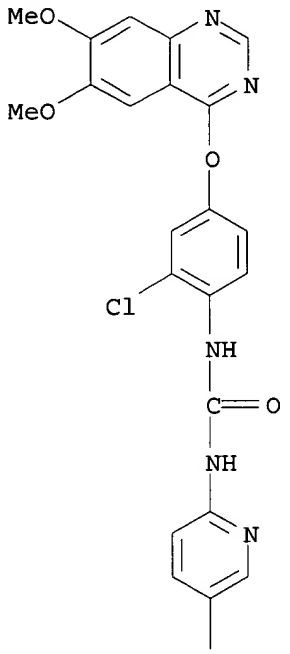


PAGE 2-A

Br

RN 286370-38-5 CAPLUS
CN Urea, N-[2-chloro-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]phenyl]-N'-(5-chloro-2-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

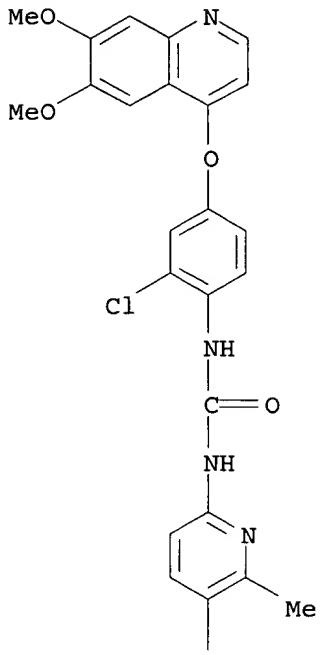


PAGE 2-A

Cl

IT **286369-66-2P 286369-80-0P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antitumor activity of quinolines and quinazolines)
RN 286369-66-2 CAPLUS
CN Urea, N-(5-bromo-6-methyl-2-pyridinyl)-N'-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

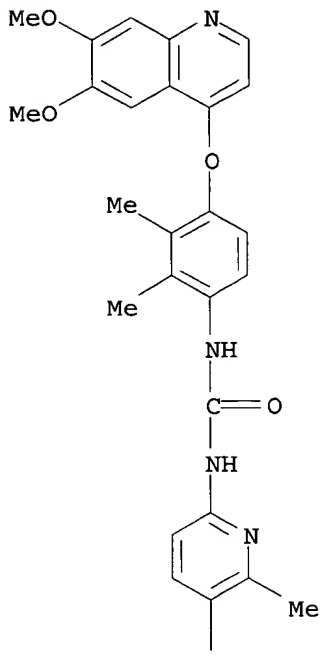
PAGE 1-A



PAGE 2-A

RN 286369-80-0 CAPLUS
CN Urea, N-(5-bromo-6-methyl-2-pyridinyl)-N'-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2,3-dimethylphenyl]- (9CI) (CA INDEX NAME)

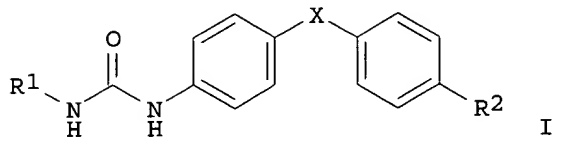
PAGE 1-A



PAGE 2-A

RE.CNT 6
RE
(1) Kirin Brewery Company Limited; EP 860433 A CAPLUS
(2) Kirin Brewery Company Limited; WO 9717329 A1 1997 CAPLUS
(3) Kirin Brewery Company Limited; JP 11158149 A 1999 CAPLUS
(4) The Well Come Foundation Ltd; JP 10505600 A
(5) The Well Come Foundation Ltd; EP 782570 A CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2001 ACS
GI



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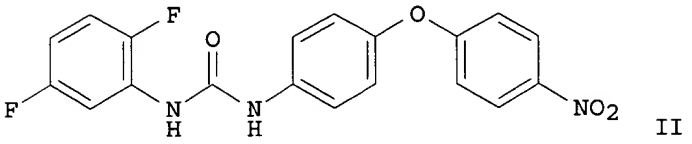

II

AB The invention relates to 1,3-disubstituted ureas I [R1 = (un)substituted aryl; R2 = NO2, NH2; X = O, S], and a method of prepg. them by treating arom. amines with isocyanates. The isocyanates may be formed in situ, and the reaction carried out in a solvent such as toluene, at, e.g., 80.degree.C. If a nitro group is formed, it may be reduced with H2 in the presence of a Pd catalyst to give an amino group. The obtained 1,3-disubstituted ureas are inhibitors of the activity of the enzyme acyl co-enzyme A:cholesterol acyltransferase (ACAT), and may be used to inhibit cholesterol esterification and absorption in hypercholesterolemia. For instance, reaction of 4-(4'-nitrophenoxy)aniline with 2,5-difluorophenyl isocyanate gave 76% title compd. II. The latter gave 49% inhibition of rat liver ACAT at 2 .mu.M, and 58% inhibition of ACAT in rabbit intestinal mucosa, at the same concn., both in vitro.

AN 1999:421643 CAPLUS

DN 131:73441

TI 1,3-Disubstituted ureas useful as ACAT inhibitors, and method for their preparation

IN Oremus, Vladimir; Smahovsky, Vendelin; Faberova, Viera; Kakalik, Ivan; Schmidtova, Ludmila; Zemanek, Marian

PA Slovako- Farma, A.S., Slovakia

SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932437	A1	19990701	WO 1998-SK19	19981216
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP 1042278	A1	20001011	EP 1998-961715	19981216
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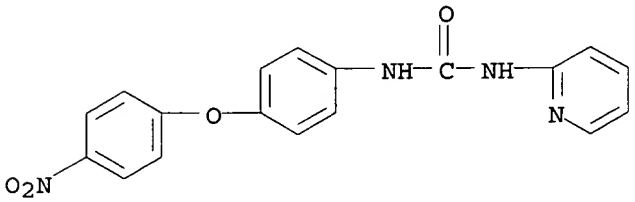
	JP 2001526259	T2	20011218	JP 2000-525374	19981216
PRAI	SK 1997-1751	A	19971219		
	WO 1998-SK19	W	19981216		

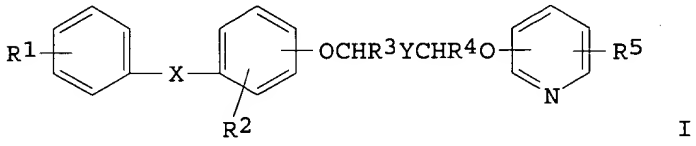
OS MARPAT 131:73441

IT **228544-41-0P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1,3-disubstituted ureas as ACAT inhibitors)
RN 228544-41-0 CAPLUS
CN Urea, N-[4-(4-nitrophenoxy)phenyl]-N'-2-pyridinyl- (9CI) (CA INDEX NAME)



RE.CNT 2
RE
(1) Becker, H; US 3284433 A 1966 CAPLUS
(2) Nippon Paper Industries; EP 0709225 A 1996 CAPLUS

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2001 ACS
GI



I

AB The title compds. I (R1 = H, halogen, alkyl, alkoxy, or haloalkyl; R2 = H, halogen, alkyl, alkenyl, haloalkyl, alkoxy, alkylthio, haloalkoxy, haloalkylthio; R3, R4 = H, alkyl, haloalkyl, alkoxyalkyl, alkenoxyalkyl, alkenyl, alkynyl, or together form a direct bond; R5 = H, halogen, alkyl, haloalkyl, alkoxy, NH2, alkyl, alkylamino, dialkylamino, or acylamino), as well as their salts, are prepd. for use as insecticides, esp. against fleas. Thus, Ph 4-[2-[2-(2-pyridyloxy)ethoxy)]ethoxy]phenyl ether (II) was prepd. by treating 2-[2-(4-phenoxyphenoxy)ethoxy]ethanol with 2-chloropyridine. II showed 100% activity against fleas both in vivo and in vitro tests.
AN 1990:458954 CAPLUS
DN 113:58954
TI Preparation of substituted pyridines as insecticides
IN Alig, Bernd; Stendel, Wilhelm; Londershausen, Michael
PA Bayer A.-G., Fed. Rep. Ger.
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 356797	A2	19900307	EP 1989-114980	19890812
	EP 356797	A3	19910403		

R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL

DE	3828820	A1	19900322	DE 1988-3828820	19880825
JP	02117660	A2	19900502	JP 1989-215127	19890823
DK	8904186	A	19900226	DK 1989-4186	19890824
AU	8940252	A1	19900405	AU 1989-40252	19890824
AU	617513	B2	19911128		
BR	8904250	A	19900410	BR 1989-4250	19890824
ZA	8906454	A	19900530	ZA 1989-6454	19890824
PRAI	DE 1988-3828820		19880825		

OS MARPAT 113:58954

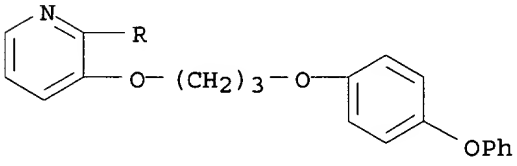
IT **128262-29-3P**

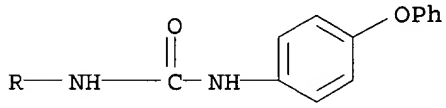
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as insecticide)

RN 128262-29-3 CAPLUS

CN Urea, N-[3-[3-(4-phenoxyphenoxy)propoxy]-2-pyridinyl]-N'-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)





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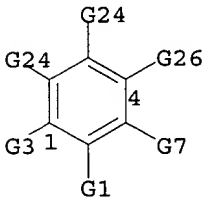
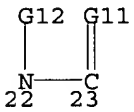
L8 ANSWER 1 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 2

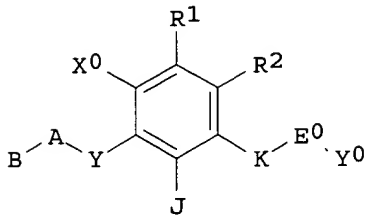


G8 = NH
G9 = 22-11 23-21



G11 = O
G18 = pyridyl (SO)
G27 = Ph (SO)
G29 = O
MPL: claim 21
NTE: or pharmaceutically acceptable salts
NTE: additional derivatization also claimed
NTE: substitution is restricted

GI



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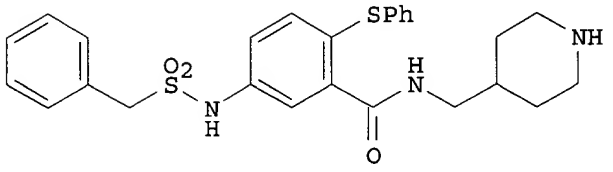


II

AB The title compds. [I; J = H, halo, OH, etc.; B = (un)substituted aryl, heteroaryl; A = a bond, CH2SO2, CH2, (CH2)2, etc.; Y = NH, O, CO, etc.; X0, R1, R2 = H, alkyl, halo, etc.; K = a bond, CH2, etc.; E0 = a bond, O, CONH, etc.; Y0 = (4-piperidinyl)methyl, (amidino)benzyl, etc.] and their pharmaceutically acceptable salts, useful as inhibitors of serine proteases of the coagulation cascade, were prepd. E.g., a multi-step synthesis of II.HCl which showed IC50 of > 30 .mu.M against factor VIIa, factor Xa and thrombin, and IC50 of 0.3 .mu.M against trypsin, was given.

AN 135:257039 MARPAT

TI Preparation of polycyclic aryl and heteroaryl substituted benzenes useful for selective inhibition of the coagulation cascade

IN South, Michael S.; Parlow, John J.

PA Pharmacia Corporation, USA

SO PCT Int. Appl., 437 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068605	A1	20010920	WO 2001-US7918	20010313

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-188943 20000313
US 2000-252159 20001120

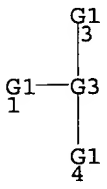
RE.CNT 3

RE

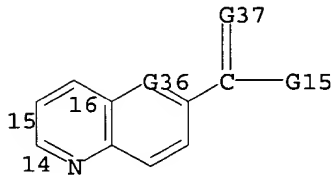
(1) Illig, C; US 5741819 A 1998 CAPLUS
(2) Ljungberg; EUR J PHAR SCI 2001, V12(4), P441 CAPLUS
(3) Terumo Corp; JP 07233148 A 1995 CAPLUS

L8 ANSWER 2 OF 35 MARPAT COPYRIGHT 2001 ACS

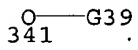
MSTR 1



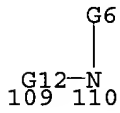
G3 = 14-4 15-1 16-3



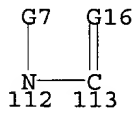
G4 = 341



G10 = 109-102 110-97

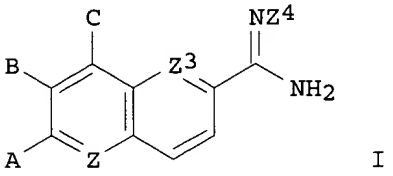


G12 = 112-102 113-110



G16 = O
G35 = p-C6H4
G39 = cyclopentyl
MPL: claim 1
NTE: substitution is restricted
NTE: additional substitution also claimed
NTE: also incorporates broader disclosure
NTE: or pharmaceutically acceptable salts or prodrugs

GI



I

AB The title compds. [I; Z = N, CH, C(NR1R2); Z3 = CH, N; Z4 = H, OH; A, B, C = H, LR; L = a covalent bond, (CH2)m, NR1, etc.; R = aryl, arylalkoxy, alkyl, etc.; R1 = H, N-protecting group, alkyl, etc.; R2 = H, alkyl, alkenyl, etc.; m = 0-5], useful as inhibitors of urokinase, were prepd. E.g., a 2-step synthesis of I [Z = CH; Z3 = CH; Z4 = H; A = H; B, C = MeO] as mono(trifluoroacetate) salt which showed IC50 of 6.6 .mu.M against urokinase, was given.

AN 135:210841 MARPAT

TI Preparation of naphthalenecarboximidamides as urokinase inhibitors

IN Geyer, Andrew G.; McClellan, William J.; Rockway, Todd W.; Stewart, Kent D.; Weitzberg, Moshe; Wendt, Michael D.

PA Abbott Laboratories, USA

SO U.S., 91 pp., Cont.-in-part of U.S. 6,258,822.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6284796	B1	20010904	US 1999-236254	19990125
	US 6258822	B1	20010710	US 1998-129989	19980806
PRAI	US 1998-129989	19980806			
	US 1997-54982	19970806			

RE.CNT 23

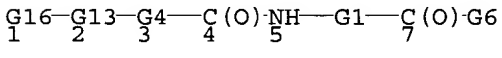
RE

(2) Anon; EP 0540051 1993 CAPLUS
(3) Anon; EP 0568289 1993 CAPLUS
(5) Anon; WO 9616940 1996 CAPLUS
(6) Anon; AU 7730198 1999 CAPLUS
(7) Anon; WO 9905124 1999 CAPLUS

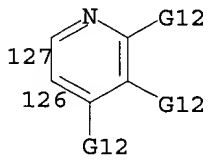
ALL CITATIONS AVAILABLE IN THE RE FORMAT

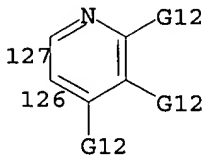
L8 ANSWER 3 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

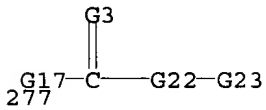


G3 = O
G13 = 127-1 126-3



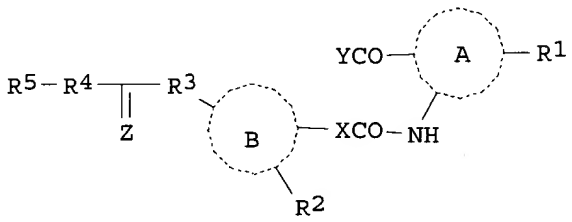


G14 = OPh
G16 = 277



G17 = NH
G22 = NH
G23 = Ph (SO (1-) G14)
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted
NTE: or pharmacologically acceptable salts

GI



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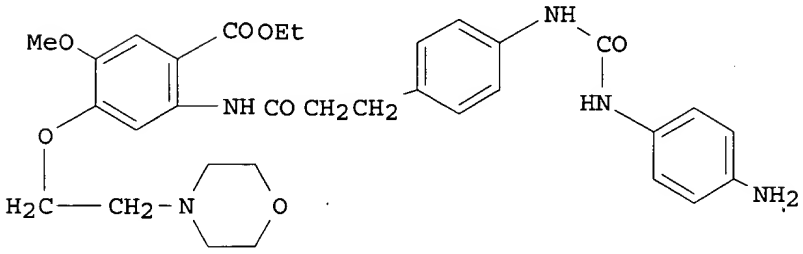


II

AB Title compds. [I; wherein A and B are each an arom. ring such as benzene ring; COY and NHCOX are adjacent to each other and bonded to carbon atoms constituting A; X is alkylene, alkyleneoxy, or a single bond; Y is alkyl, alkoxy, hydroxyl, or optionally substituted amino; R1 is hydrogen, halogeno, hydroxyl, alkyl, or the like, with the proviso that when A is a

benzene ring, R1 is not hydrogen; R2 is hydrogen, halo, hydroxyl, alkyl; R3 and R4 are each optionally substituted imino, oxygen, or a single bond; R5 is alkyl, optionally substituted Ph, etc.; Z is oxygen or sulfur] and pharmaceutical compns. contg. the derivs. or salts as the active ingredient for prevention or treatment of diseases caused by abnormal propagation of vascular smooth muscle cells. Thus, the title compd. II was prepd. and tested.

AN 134:295625 MARPAT

TI Preparation of novel diarylamide derivatives and use thereof as remedies of abnormal propagation of vascular smooth muscle cells

IN Ogita, Haruhisa; Isobe, Yoshiaki; Takaku, Haruo

PA Japan Energy Corporation, Japan

SO PCT Int. Appl., 196 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001025190	A1	20010412	WO 2000-JP6667	20000927

W: AU, CA, JP, NZ, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

PRAI JP 1999-281271 19991001
JP 1999-290789 19991013

RE.CNT 16

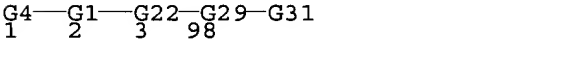
RE

(1) Kissei Pharmaceutical Co Ltd; CN 1211182 A CAPLUS
(3) Kissei Pharmaceutical Co Ltd; EP 894496 A1 CAPLUS
(4) Kissei Pharmaceutical Co Ltd; AU 9668370 A CAPLUS
(5) Kissei Pharmaceutical Co Ltd; BR 9707514 A CAPLUS
(6) Kissei Pharmaceutical Co Ltd; AU 9716713 A CAPLUS

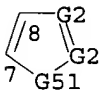
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 35 MARPAT COPYRIGHT 2001 ACS

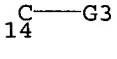
MSTR 1



G1 = 7-1 8-3

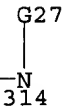


G2 = 14



G22 = O
G26 = NH (SO)
G29 = phenylene (SO)

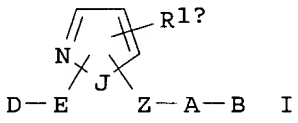
G40 = pyridyl (SO)
G41 = 313-98 314-286



G45 = 359-98 360-314

G51 = O
MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: additional ring formation also claimed
NTE: substitution is restricted
NTE: also incorporates broader disclosure
STE: or stereoisomers

GI



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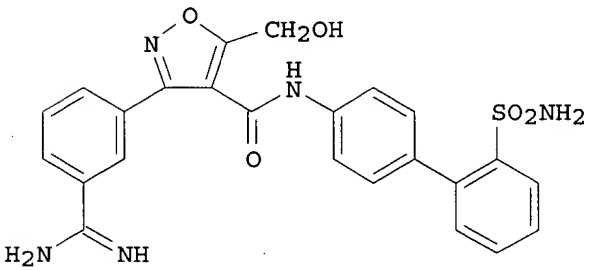


II

AB The title compds. [I; J = O, S; E = Ph substituted with one R; R = H, halo, alkyl, etc.; D = C(:NR8)NR7R9, CR8R9NR7R8, provided that D is substituted meta on E; Z = CONH, provided that Z does not form a N-N bond with group A; R1a = absent, (CH2)rR1, O(CH2)2(CH2)tR1, etc.; R1 = H, alkyl, halo, etc.; A = (un)substituted carbocyclic residue, pyridyl; B = (un)substituted carbocyclic residue, pyridyl, etc.; r = 0-3; t = 0-1] and their salts, useful as inhibitors of factor Xa, were prepd. and formulated. E.g., a multi-step synthesis of the isoxazole II was given. A no. of compds. I were found to exhibit a Ki of .ltoreq. 10 .mu.M against factor Xa.
AN 134:163023 MARPAT

Print selected from Online session27/12/2001

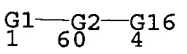
TI Preparation of phenyl-isoxazoles as factor Xa inhibitors
IN Pruitt, James Russell; Fevig, John Matthew; Quan, Mimi Lifen; Pinto, Donald Joseph Phillip
PA Dupont Pharmaceuticals Company, USA
SO U.S., 90 pp., which
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6187797	B1	20010213	US 1997-996378	19971222

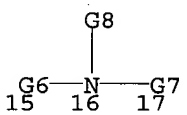
PRAI US 1996-33843 19961223
US 1997-50975 19970620
RE.CNT 15
RE
(1) Anon; EP 0513387 1992 CAPLUS
(3) Anon; WO 9424095 1994 CAPLUS
(4) Anon; WO 9514683 1995 CAPLUS
(5) Anon; EP 0768305 1997 CAPLUS
(6) Baker; US 5317103 1994 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 35 MARPAT COPYRIGHT 2001 ACS

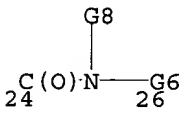
MSTR 1



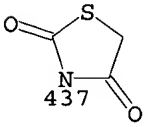
G1 = quinolinyl
G3 = 15-1 17-3



G7 = 24-16 26-3



G14 = phenylene
G21 = O
G22 = 437



MPL: claim 1
NTE: additional ring formation and substitution also claimed
NTE: or pharmaceutically acceptable salts, N-oxides, hydrates or solvates

AB Ar1(CR1R2)aA(CR3R4)bAr2(CR5R6)cB(CR7R8)dEZ[Ar1, Ar2 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocycloalkenyl, fused arylheterocyclyl, heteroaryl, fused heteroarylcycloalkenyl, fused heteroarylcycloalkyl, fused heteroarylheterocyclyl, etc.; A = O, S, SO, SO2, NR13, CO, NR14CO, CONR15, NR14CONR15, CR14:N, bond, etc.; B = O, S, NR19, bond, CO, NR20CO, CONR20; E = bond, CH2CH2; Z = R21O2C, R21OC, cycloimide, cyano, R21O2SHNCO, R21O2SHN, (R21)2NCO, R21O-substituted 2,4-thiazolidinedionyl, tetrazolyl; a, d = 0-6; b, c = 0-4; R1, R3, R5, R7 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8 = (CH2)qX; q = 0-3; R14, R15, R20 = H, alkyl, aralkyl, CO, alkoxycarbonyl; R14R15 = atoms to form a 5-6 membered azaheterocyclyl; R19, R21 = H, aryl, alkyl, cycloalkyl, aralkyl], were prepd. as agonists or antagonists of the PPAR receptor (no data). Thus, 3-(quinolin-2-ylmethoxy)propan-1-ol in DMPU/THF at 0.degree. was treated with NaH and then with Me 2-bromomethyl-6-methylbenzoate followed by stirring overnight at room temp. to give Me 2-methyl-6-[3-(quinolin-2-ylmethoxy)propoxymethyl]benzoate.

AN 133:335167 MARPAT

TI Preparation of diaryl carboxylic acids and derivatives as peroxisome proliferator-activated receptor ligands.

IN Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Groneberg, Robert D.; McGarry, Daniel G.; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark

PA Aventis Pharmaceuticals Products Inc., USA

SO PCT Int. Appl., 167 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000064888	A1	20001102	WO 2000-US11833	20000428

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-131455 19990428

RE.CNT 12

RE

(1) Dr Reddy'S Research Foundation; WO 9908501 A 1999 CAPLUS
(2) Dr Reddy'S Research Foundation; WO 9916758 A 1999 CAPLUS
(3) Imperial Chemical Industries Plc; EP 0520723 A 1992 CAPLUS
(4) Merck & Co Inc; WO 9728149 A 1997 CAPLUS
(5) Merck & Co Inc; WO 9727847 A 1997 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

Print selected from Online session27/12/2001

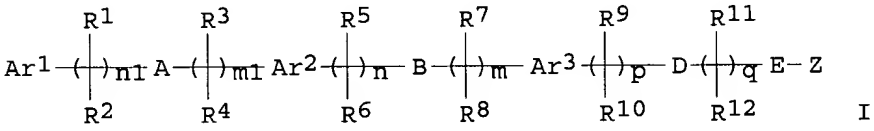
G1—G4—G2—G15—G3—G20—G24
1 3 5 121

G1 = quinolinyl (SO)
G2 = phenylene (SO)
G3 = o-C6H4 (SO)
G4 = 11-1 13-3

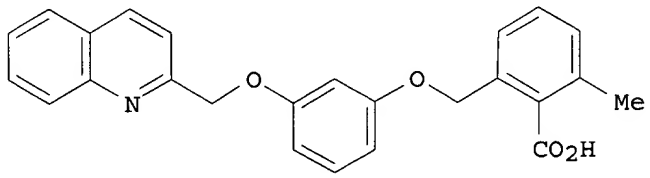
G6—C(O)-G6
11 13

G6 = NH (SO)
G15 = O
MPL: claim 1
NTE: additional ring formation also claimed
NTE: or pharmaceutically acceptable salts, N-oxides, hydrates or solvates

GI



I



II

AB This invention is directed to triaryl acid derivs. I and their salts, N-oxides, hydrates, solvates, and pharmaceutical compns. [wherein: Ar1, Ar2, Ar3 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocyclenyl, fused arylheterocyclyl, heteroaryl, fused heteroarylcycloalkemyl, fused heteroarylcycloalkyl, fused heteroarylheterocyclenyl, or fused heteroarylheterocyclyl; A = bond, O, S, SO, SO2, CO, (un)substituted NH, NHCO, CONH, NHCONH, CH:N, etc.; B = bond, O, S, SO, SO2, C.tplbond.C, CO, (un)substituted NH, NHCO, or CONH; D = bond, O, S, C.tplbond.C, CO, (un)substituted NH, NHCO, or CONH; E = bond, CH2CH2; Z = (un)substituted CO2H, CHO, cyclo-imide, cyano, sulfonylaminocarbonyl, sulfonylamino, carbamoyl, tetrazolyl, etc.; R1, R3, R5, R7, R9, R11 = H, halo, alkyl, CO2H, alkoxycarbonyl, aralkyl; R2, R4, R6, R8, R10, R12 = (CH2)0-3X (where X = H or various substituents); n1 = 0-4; m1 = 0-4; n = 0-4; m = 0-5; p = 0-4; q = 0-6; with numerous provisos]. The compds. are PPAR receptor ligands, useful as agonists or antagonists thereof (no data). For instance, 2,6-dimethylbenzoic acid underwent a sequence of: (1) Me esterification, (2) benzylic monobromination, (3) etherification with 3-(quinolin-2-ylmethoxy)phenol, and (4) alk. hydrolysis with NaOH in aq. EtOH, to give title compd. II.
AN 133:335164 MARPAT
TI Tri-aryl acid derivatives as PPAR receptor ligands

IN Jayyosi, Zaid; McGeehan, Gerard M.; Kelley, Michael F.; Labaudiniere, Richard F.; Zhang, Litao; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark; Morris, Robert; Groneberg, Robert D.; Mcgarry, Daniel G.
PA Aventis Pharmaceuticals Products Inc., USA
SO PCT Int. Appl., 257 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

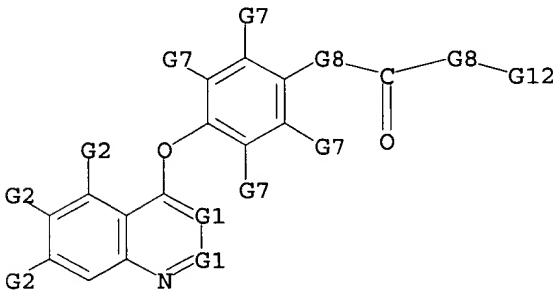
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064876	A1	20001102	WO 2000-US11490	20000428

PI
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-131454 19990428
RE.CNT 13
RE
(1) Ciba-Geigy Ag; EP 0643045 A 1995 CAPLUS
(2) Dr Reddy'S Research Foundation; WO 9908501 A 1999 CAPLUS
(3) Glaxo Group Ltd; WO 9731907 A 1997 CAPLUS
(4) Laboratorios Menarini S A; WO 9724331 A 1997 CAPLUS
(5) Leo Pharmaceutical Products Ltd; WO 8905294 A 1989 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

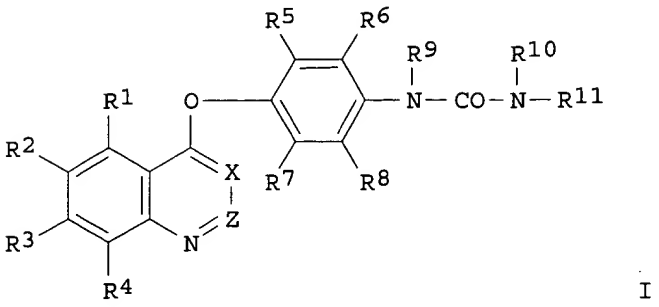
L8 ANSWER 7 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = CH
G8 = NH
G12 = pyridyl (SO (1-) G23)
DER: and pharmaceutically acceptable salts or solvates
MPL: claim 1

GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5,R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

AN 133:135235 MARPAT

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000007656	A	20011030	BR 2000-7656	20000120
	EP 1153920	A1	20011114	EP 2000-900841	20000120
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	NO 2001002617	A	20010914	NO 2001-2617	20010529
PRAI	JP 1999-14858	19990122			
	JP 1999-26691	19990203			
	JP 1999-142493	19990521			
	JP 1999-253624	19990907			
	WO 2000-JP255	20000120			

RE.CNT 6

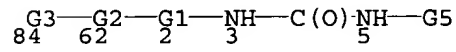
RE

Print selected from Online session27/12/2001

(1) Kirin Brewery Company Limited; EP 860433 A CAPLUS
(2) Kirin Brewery Company Limited; WO 9717329 A1 1997 CAPLUS
(3) Kirin Brewery Company Limited; JP 11158149 A 1999 CAPLUS
(4) The Well Come Foundation Ltd; JP 10505600 A
(5) The Well Come Foundation Ltd; EP 782570 A CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

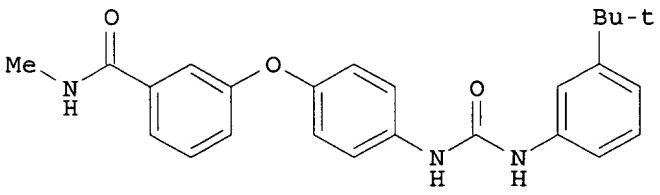
L8 ANSWER 8 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = p-C6H4
G2 = O
G5 = pyridyl (SO)
G23 = phenylene (SO)
MPL: claim 1

GI



II

parent

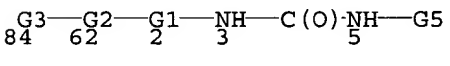
AB This invention relates to the prepn. and use of (hetero)aryl ureas ANHCONHB [I; A = L(ML1)q; L = 5- or 6-membered (hetero)aryl, esp. Ph or pyridinyl; M = bridging group; L1 = (hetero)aryl with at least one (un)substituted sulfamoyl, carboxy, or carbamoyl substituent; q = 1-3; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] for the treatment of raf mediated diseases, such as cancer (no data). Approx. 100 invention compds. and numerous intermediates were prepd. For instance, 3-tert-butylaniline was coupled with bis(trichloromethyl)carbonate to form the isocyanate, followed by addn. of 4-(3-N-methylcarbamoylphenoxy)aniline (prepn. given) to afford the urea II.
AN 133:120157 MARPAT
TI Preparation of .omega.-carboxy(hetero)aryl substituted diphenyl ureas as raf kinase inhibitors
IN Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.
PA Bayer Corporation, USA
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2000042012	A1	20000720	WO 2000-US648	20000112
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1140840	A1	20011010	EP 2000-903239	20000112
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 2001011135	A1	20010802	US 2001-773659	20010202
	US 2001011136	A1	20010802	US 2001-773675	20010202
	US 2001016659	A1	20010823	US 2001-773672	20010202
	US 2001027202	A1	20011004	US 2001-773658	20010202
	US 2001034447	A1	20011025	US 2001-773604	20010202
	NO 2001003463	A	20010912	NO 2001-3463	20010712
PRAI	US 1999-115877	19990113			
	US 1999-257266	19990225			
	US 1999-425228	19991022			
	WO 2000-US648	20000112			

RE.CNT 12
RE
(1) Bayer Corporation; WO 9852558 A1 1998 CAPLUS
(2) Bayer Corporation; WO 9852559 A1 1998 CAPLUS
(3) Bonwick; Journal of Immunological Methods 1996, V196(2), P163 CAPLUS
(4) Chugai Pharmaceutical Co Ltd; JP 57185219 1982 CAPLUS
(5) Dearden; Nato ASI Srv 1996, V23, P93 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 35 MARPAT COPYRIGHT 2001 ACS

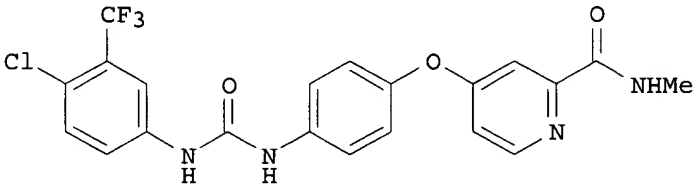
MSTR 1



G1 = p-C6H4
G2 = O
G5 = pyridyl (SO)
G23 = phenylene (SO)
MPL: claim 1

GI

parent



II

AB The title compds. ADB [I; D = NHCONH; A = substituted moiety of up to 40 carbon atoms of the formula L(ML1)q (wherein L = 5-6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having al least one atom; q = 1-3; each of L and L1 contains 0-4 members of the group consisting of N, O and S); B = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D contg. 0-4 members of the group consisting of N, O and S], useful in treating p38 mediated diseases, were prepd. E.g., a multi-step synthesis of the urea II which showed IC50 of 1-10 .mu.M against p38, was given. Compds. I are effective at 0.01-200 mg/kg/day (oral administration).

AN 133:120155 MARPAT

TI Preparation of .omega.-carboxy aryl substituted diphenyl ureas as p38 kinase inhibitors

IN Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.

PA Bayer Corporation, USA

SO PCT Int. Appl., 148 pp.
CODEN: PIXXD2

DT Patent

LA English

parent

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000041698	A1	20000720	WO 2000-US768	20000113
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1158985	A1	20011205	EP 2000-905597	20000113
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRAI US 1999-115878 19990113
US 1999-257265 19990225
US 1999-425229 19991022
WO 2000-US768 20000113

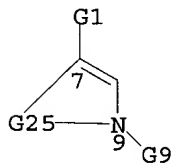
RE.CNT 1

RE

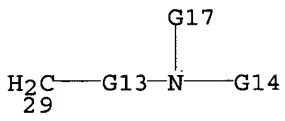
(1) Smithkline Beecham Corporation; WO 9533458 A1 1995 CAPLUS

L8 ANSWER 10 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

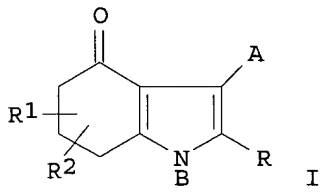


G12 = 29



G13 = C(O)
G14 = pyridyl
G17 = Ph (SO (1-3) G18)
G18 = OMe
DER: or pharmaceutically acceptable salts or N-oxides
MPL: claim 1

GI



AB Indolones I [A = alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, (un)substituted aryl; B = (un)substituted Ph, heterocyclic; R = H; R1, R2 = H, alkyl; CR1R2 = cycloalkyl] were prepd. for use as GABAA .alpha.5 receptor ligands in medicaments for enhancing cognition (no data). Thus, EtCOCH2CO2Et was converted to the oxime and treated with 5,5-dimethyl-,13-cyclohexanedione to give I [A = Et, B = H, R = CO2Et, R1, R2 = 6-Me] which was hydrolyzed to the acid, decarboxylated, and treated with 2-fluoropyridine to give I [A = Et, B = 2-pyridyl, R = H, R1, R2 = 6-Me].

AN 132:12259 MARPAT

TI Tetrahydroindolone derivatives as GABAA .alpha.5 receptor ligands for enhancing cognition

IN Broughton, Howard Barff; Bryant, Helen Jane; Chambers, Mark Stuart; Curtis, Neil Roy

PA Merck Sharp & Dohme Limited, UK

SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9962899	A1	19991209	WO 1999-GB1799	19990602

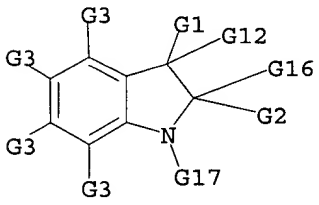
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,

CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9950473 A1 19991220 AU 1999-50473 19990602
PRAI GB 1998-12038 19980604
WO 1999-GB1799 19990602
RE.CNT 4
RE
(1) McDonald, B; Journal of the Chemical Society Perkin Transactions 1 1975, V15, P1446
(2) Merck Sharp & Dohme Ltd; WO 9818792 A 1998 CAPLUS
(3) Neurogen Corporation; WO 9734870 A 1997 CAPLUS
(4) Parke Davis & Company; GB 1150397 A 1969 CAPLUS

L8 ANSWER 11 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



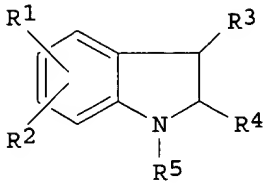
phenyl with O linker missing

G3 = 182

G6—C(O)-G10—G9
182

G4 = O
G5 = Ph
G6 = NH
G9 = pyridyl
G10 = NH
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: additional substitution also claimed

GI



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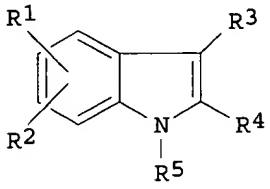

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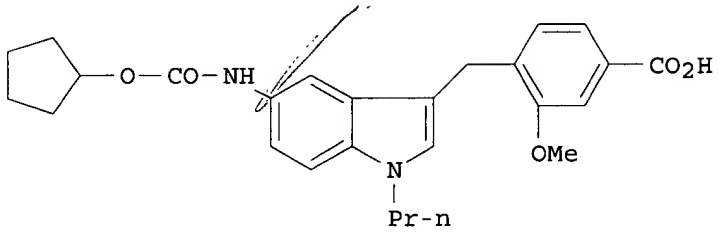

III

AB Indole derivs. (I) and (II) [where R1 = H, halogen, CF3, C1-10 alkyl, S-C1-10 alkyl, C1-10 alkoxy, CN, NO2, NH2, Ph, OPh, SPh, CH2Ph, OCH2Ph, SCH2Ph, or (un)substituted amido, carbamido, sulfonyl, etc.; R2 = H, halogen, CF3, OH, C1-10 alkyl, C1-10 alkoxy, CHO, CN, NO2, (un)substituted amino, SO2-C1-6 alkyl; R3 = (un)substituted carboxylic acid, OPO3H2, SO3H, etc.; R4 = H, CF3, C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, CHO, halogen, etc.; R5 = C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, etc.] and pharmaceutically acceptable salts thereof, were prepd. by several methods. Thus, 5-nitroindole was C3-alkylated with Me 4-(bromomethyl)-3-methoxybenzoate in dioxane, N-alkylated with 1-iodopropane in a soln. of THF and NaH, and converted to the amine by hydrogenation over Pt/C. The amine was converted to the carbamate by addn. of cyclopentyl chloroformate in CH2Cl2 and 4-methylmorpholine and the resultant ester hydrolyzed to yield 4-[(5-{[(cyclopentyloxy)carbonyl]amino}-1-propyl-1H-indol-3-yl)methyl]-3-methoxybenzoic acid (III). The title compds. are useful as phospholipase enzyme inhibitors, esp. cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of prodn. of prostaglandins, leukotrienes, and PAF are all desired. Over one hundred compds. of the invention were tested for cPLA2 inhibiting activity in the Coumarine assay and rat carrageenan-induced footpad edema test. Compds. exhibited 7% to 98% inhibition at concns. of 0.125 .mu.M to 400 .mu.M in the Coumarine assay and -7.16% to 34.52% inhibition at concns. of 2 .mu.M to 20 .mu.M in the footpad edema test.

AN 131:199619 MARPAT

TI Preparation of indole derivatives as phospholipase enzyme inhibitors

IN Seehra, Jasbir S.; Mckew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin; Chen, Lihren; Knopf, John L.

PA Genetics Institute, Inc., USA

SO PCT Int. Appl., 182 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943654	A2	19990902	WO 1999-US3898	19990224
	WO 9943654	A3	19991028		

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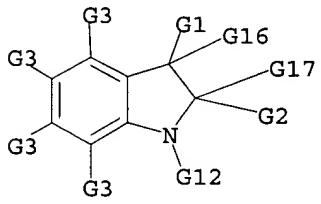
DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

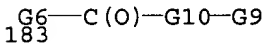
AU	9927825	A1	19990915	AU 1999-27825	19990224
BR	9908275	A	20001024	BR 1999-8275	19990224
EP	1062205	A2	20001227	EP 1999-908378	19990224
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
NO	2000004219	A	20001023	NO 2000-4219	20000823
PRAI	US 1998-30592	19980225			
	WO 1999-US3898	19990224			

L8 ANSWER 12 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

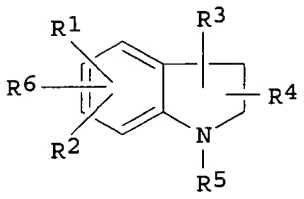


G3 = 183



G4 = O
G5 = Ph
G6 = NH
G9 = pyridyl (SO)
G10 = NH
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

GI



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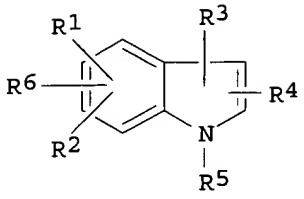

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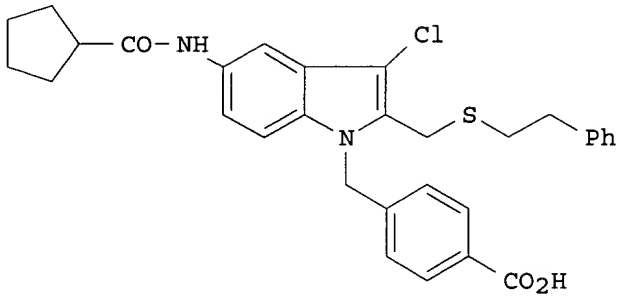

III

AB Indole derivs. (I) and (II) [where R1 and R6 = H, halogen, CF3, OH, C1-10 alkyl, S-C1-10 alkyl, C1-10 alkoxy, CN, NO2, Ph, OPh, SPh, CH2Ph, OCH2Ph, SCH2Ph, or (un)substituted amino, amido, carbamido, sulfonyl, etc.; R2 = H, halogen, CF3, OH, C1-10 alkyl, C1-10 alkoxy, CHO, CN, NO2, (un)substituted amino, SO2-C1-6 alkyl; R3 = H, CF3, C1-6 alkyl, C1-6 alkoxy, (C1-6 alkyl)cycloalkyl, etc.; R4 = C1-6 alkyl, C1-6 alkoxy, alkylcycloalkyl, acyl, etc.; R5 = (un)substituted carboxylic acid, OPO3H2, SO3H, etc.] and pharmaceutically acceptable salts thereof, were prepd. by several methods. Thus, Et 5-nitroindole-2-carboxylate was C3-chlorinated in DMF. The alc. was formed by redn. of the ester in a two-step process and was then TBDMS-protected. The TBDMS-protected alc. was N-alkylated with Me 4-(bromomethyl)benzoate, the nitro group reduced to the amine over Pt/C, and the compd. reacted with cyclopentylcarbonyl chloride to form the amide. The amide was treated with with Ph3PBr2 in CH2Cl2 to convert the protected alc. to the bromide and then reacted with phenethyl mercaptan in the presence of Cs2CO3 followed by NaOH to yield 4-({3-chloro-5-[(cyclopentylcarbonyl)amino]-2-[(phenethylsulfanyl)methyl]-1H-indol-1-yl}methyl)benzoic acid (III). The title compds. are useful as phospholipase enzyme inhibitors, esp. cytosolic phospholipase A2 (cPLA2), for treatment of inflammatory conditions, particularly where inhibition of prodn. of prostaglandins, leukotrienes, and PAF are all desired (no data).

AN 131:199618 MARPAT

TI Preparation of indole derivatives as phospholipase enzyme inhibitors

IN Seehra, Jasbir S.; Kaila, Neelu; McKew, John C.; Lovering, Frank; Bemis, Jean E.; Xiang, Yibin

PA Genetics Institute, Inc., USA

SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9943651	A2	19990902	WO 1999-US3899	19990224
	WO 9943651	A3	19991216		

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             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9927826        A1   19990915       AU 1999-27826     19990224
     BR 9908280        A    20001031       BR 1999-8280      19990224
     EP 1056719        A2   20001206       EP 1999-908379    19990224
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
     NO 2000004220     A    20001005       NO 2000-4220      20000823
PRAI US 1998-30062    19980225
     WO 1999-US3899   19990224
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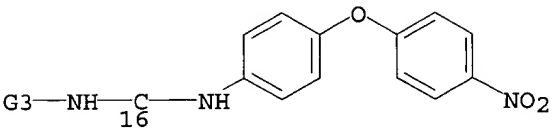
L8 ANSWER 13 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

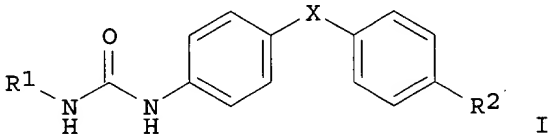
G1═O

G1 = 16



G3 = pyridyl
MPL: claim 1

GI



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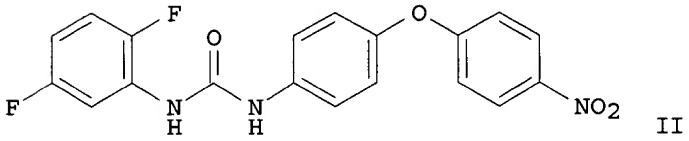


II

AB The invention relates to 1,3-disubstituted ureas I [R1 = (un)substituted aryl; R2 = NO2, NH2; X = O, S], and a method of prepg. them by treating arom. amines with isocyanates. The isocyanates may be formed in situ, and the reaction carried out in a solvent such as toluene, at, e.g., 80.degree.C. If a nitro group is formed, it may be reduced with H2 in the

presence of a Pd catalyst to give an amino group. The obtained 1,3-disubstituted ureas are inhibitors of the activity of the enzyme acyl co-enzyme A:cholesterol acyltransferase (ACAT), and may be used to inhibit cholesterol esterification and absorption in hypercholesterolemia. For instance, reaction of 4-(4'-nitrophenoxy)aniline with 2,5-difluorophenyl isocyanate gave 76% title compd. II. The latter gave 49% inhibition of rat liver ACAT at 2 .mu.M, and 58% inhibition of ACAT in rabbit intestinal mucosa, at the same concn., both in vitro.

AN 131:73441 MARPAT

TI 1,3-Disubstituted ureas useful as ACAT inhibitors, and method for their preparation

IN Oremus, Vladimir; Smahovsky, Vendelin; Faberova, Viera; Kakalik, Ivan; Schmidtova, Ludmila; Zemanek, Marian

PA Slovako- Farma, A.S., Slovakia

SO PCT Int. Appl., 33 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932437	A1	19990701	WO 1998-SK19	19981216
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9916976	A1	19990712	AU 1999-16976	19981216
	EP 1042278	A1	20001011	EP 1998-961715	19981216
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
	JP 2001526259	T2	20011218	JP 2000-525374	19981216
PRAI	SK 1997-1751	19971219			
	WO 1998-SK19	19981216			

RE.CNT 2

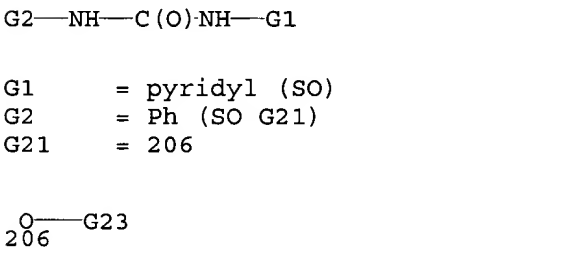
RE

(1) Becker, H; US 3284433 A 1966 CAPLUS

(2) Nippon Paper Industries; EP 0709225 A 1996 CAPLUS

L8 ANSWER 14 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional substitution and ring formation also claimed
NTE: substitution is restricted

AB A method of treating a p-38 mediated disease other than cancer comprises administration of BNHCONHA [A = (substituted) Ph, pyridyl, 2-thienyl; B = (substituted) aryl, heteroaryl contg. .gtoreq.1 6-membered arom. structure contg. 0-4 N, O, or S atoms]. Thus, 5-tert-butyl-2-(3-tetrahydrofuranyloxy)aniline (prepn. given) and p-tolyl isocyanate were stirred 8 h in PhMe to give 75% N-(5-tert-butyl-2-(3-tetrahydrofuranyloxy)phenyl)-N'-(4-methylphenyl)urea. Title compds. inhibited p38 kinase with IC50 = 1-10 .mu.M.

AN 131:58659 MARPAT

TI Preparation of diaryl ureas as inhibitors of p38 kinase.

IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Gunn, David; Hatoum-Mokdad, Holia; Rodriguez, Mareli; Sibley, Robert; Wang, Ming

PA Bayer Corporation, USA

SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932463	A1	19990701	WO 1998-US27265	19981222
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9919399	A1	19990712	AU 1999-19399	19981222
	EP 1042305	A1	20001011	EP 1998-964221	19981222
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001526276	T2	20011218	JP 2000-525400	19981222

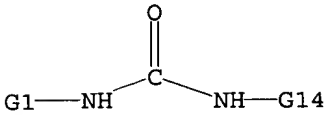
PRAI US 1997-995749 19971222
WO 1998-US27265 19981222

RE.CNT 5

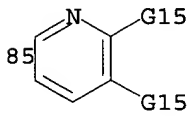
RE
(1) Frick; US 3230141 1966
(2) Geigy, J; GB 0828231 A 1960 CAPLUS
(3) Kabbe; US 4405644 A 1983 CAPLUS
(4) Martin; US 3151023 A 1964
(5) Martin; US 3200035 A 1965

L8 ANSWER 15 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

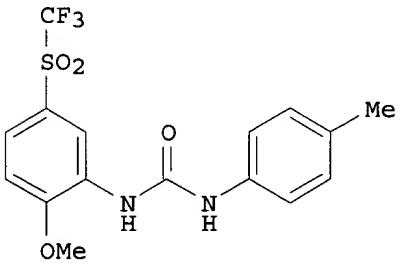


G5 = phenylene (SO (-3) G8)
G6 = O
G7 = Ph (SO (1-) G9)
G14 = 85



DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 15

GI



II

AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A = certain (un)substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepd. For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtOAc gave title compd. II. In an in vitro raf kinase assay, all compds. displayed IC50 values between 1 nM and 10 .mu.M.
AN 131:58658 MARPAT
TI Inhibition of raf kinase using symmetrical and unsymmetrical substituted diphenyl ureas
IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming
PA Bayer Corporation, USA
SO PCT Int. Appl., 89 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	WO 9932436	A1	19990701	WO 1998-US26081	19981222	
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
	AU 9919054	A1	19990712	AU 1999-19054	19981222	
	EP 1049664	A1	20001108	EP 1998-963809	19981222	
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	JP 2001526258	T2	20011218	JP 2000-525373	19981222	
	NO 2000003230	A	20000821	NO 2000-3230	20000621	
PRAI	US 1997-996344	19971222				
	WO 1998-US26081	19981222				

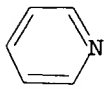
RE.CNT 3
RE
(1) Dixon; US 5470882 A 1995 CAPLUS
(2) Seto; US 5429918 A 1995 CAPLUS
(3) Smithkline Beecham Corporation; WO 96/25157 A1 1996 CAPLUS

L8 ANSWER 16 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

G4—G1—G22—G29—G31
1 2 3 98

G1 = 603-1 604-3



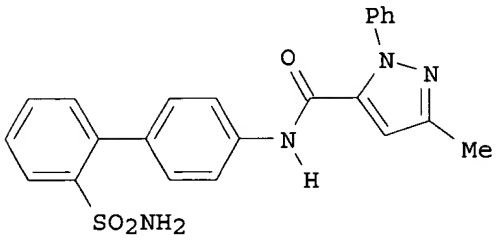
603604

G22 = 106-2 108-98

G26—C(O)-G26
106 108

G26 = NH (SO)
G29 = phenylene (SO)
G40 = Ph (SO)
G41 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted
NTE: additional substitution also claimed
STE: or stereoisomers

GI



II

AB EZ1M [I; E = halo, OH, alkyl, aloxy, etc.; M = Z2ZAB; A = (un)substituted carbocyclylene, -heterocyclylene; B = H, Y, XY; X = alkylene, CO, O, (un)substituted NH, etc.; Y = amino(alkyl), substituted carbocyclyl, -heterocyclyl, etc.; Z = bond, (heteroatom- or functional group-interrupted) alkylene, etc.; Z1 = (un)substituted Ph, Z2 = N-contg. heteroarylene, etc.] were prepd. Thus, MeCOCH2C(:NOMe)CO2Et was cyclocondensed with PhNHNH2 and the product amidated by 4-(H2N)C6H4C6H4(SO2NHCMe3)-2 to give, after deprotection, title compd. II. Data for biol. activity of I were given.

AN 130:81510 MARPAT

TI Preparation of phenylpyrazolecarboxamides as coagulation factor Xa inhibitors

IN Galemmo, Robert Anthony, Jr.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Lam, Patrick Yuk-sun; Pinto, Donald Joseph Philip; Pruitt, James Russell; Quan, Mimi Lifen

PA The Du Pont Merck Pharmaceutical Company, USA

SO PCT Int. Appl., 259 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857937	A2	19981223	WO 1998-US12681	19980618
	WO 9857937	A3	19990318		
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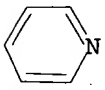
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9881503	A1	19990104	AU 1998-81503	19980618
	US 5998424	A	19991207	US 1998-99752	19980618
	EP 991625	A2	20000412	EP 1998-931355	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9810151	A	20000808	BR 1998-10151	19980618
	LV 12516	B	20010320	LV 1999-177	19991216
	NO 9906316	A	19991217	NO 1999-6316	19991217
	LT 4702	B	20000925	LT 1999-146	19991217
PRAI	US 1997-878885	19970619			
	US 1998-76691	19980227			
	US 1997-50219	19970619			
	WO 1998-US12681	19980618			

L8 ANSWER 17 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

G4—G1—G22—G29—G31
1 2 3 98

G1 = 603-1 604-3



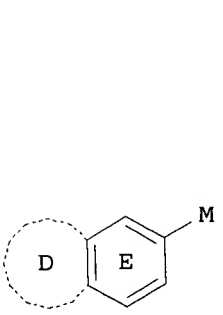
603604

G22 = 106-2 108-98

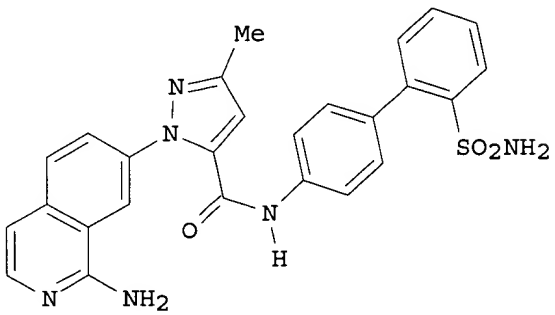
G26—C(O)-G26
106 108

G26 = NH (SO)
G29 = phenylene (SO)
G40 = Ph (SO)
G41 = O
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted
NTE: additional substitution also claimed
STE: or stereoisomers

GI



I



II

AB The title compds. [I; rings D-E represent guanidine mimics; ring D = CH2N:CH, CH2CH2N:CH, a 5-6 membered arom. system contg. 0-2 heteroatoms selected form the group N, O, and S; ring D is substituted with 0-2 R (substituents), provided that when ring D is unsubstituted, it contains at least one heteroatom; ring E contains 0-2 N atom and is substituted by 0-1 R; R = halo, OH, C1-3 alkoxy, etc.; M = (un)substituted pyrazole, imidazole, tetrazole, etc.], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepd. and formulated. Thus, a multi-step synthesis of the title compd. II, starting with 7-aminoisoquinoline, was described. A no. of compds. I were found to exhibit a Ki of .ltoreq. 15 .mu.M against factor Xa.

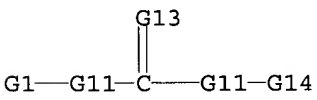
AN 130:66494 MARPAT
TI Preparation of novel guanidine mimics as factor Xa inhibitors
IN Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John Matthew; Han, Qi; Li, Renhua; Pinto, Donald Joseph-Phillip; Pruitt, James Russell; Quan, Mimi Lifen
PA The Du Pont Merck Pharmaceutical Company, USA
SO PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857951	A1	19981223	WO 1998-US12680	19980618
	W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9879768	A1	19990104	AU 1998-79768	19980618
	EP 991638	A1	20000412	EP 1998-930361	19980618
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
	BR 9810137	A	20000808	BR 1998-10137	19980618
	NO 9905965	A	19991203	NO 1999-5965	19991203
	LV 12496	B	20010120	LV 1999-178	19991216
	LT 4705	B	20000925	LT 1999-147	19991217
PRAI	US 1997-878884	19970619			
	WO 1998-US12680	19980618			

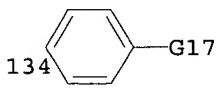
RE.CNT 5
RE
(1) 3-Dimensional Pharmaceuticals Inc; WO 9639380 A 1996 CAPLUS
(2) Boehringer Mannheim GMBH; DE 19530996 A 1997 CAPLUS
(3) Du Pont Merck Pharma; WO 9723212 A 1997 CAPLUS
(4) Fujisawa Pharmaceutical Co; EP 0554829 A 1993 CAPLUS
(5) Rhone Poulenc Rorer Pharmaceuticals Inc; WO 9640679 A 1996 CAPLUS

L8 ANSWER 18 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = 134



G11 = NH
G13 = O
G14 = pyridyl (SO (1-) G2)
G17 = OPh
MPL: claim 1

AB The title compds. WX1C(:Y)X2Z [W = (un)substituted satd., partially satd. or arom. monocyclic or bicyclic ring system optionally comprising up to 4 heteroatoms; Y = O, etc.; X1, X2 = O, S, etc.; Z = cycloalkyl, etc.] are prepd. Compds. of this invention are inhibitors of p38, a mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli. In in vitro assays for inhibition of phosphorylation of EGF receptor peptide, compds. of this invention showed IC50 values of 0.14 .mu.M to 19 .mu.M.

AN 130:66491 MARPAT

TI Preparation of urea derivatives as inhibitors of p38

IN Salituro, Francesco Gerald; Bemis, Guy W.; Green, Jeremy; Kofron, James L.

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9900357	A1	19990107	WO 1998-US13496	19980629
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6093742	A	20000725	US 1997-884160	19970627
	AU 9883776	A1	19990119	AU 1998-83776	19980629
	EP 993441	A1	20000419	EP 1998-934195	19980629
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRAI	US 1997-884160	19970627			
	WO 1998-US13496	19980629			

RE.CNT 5

RE

(1) Adams, J; WO 9531451 A 1995 CAPLUS

(2) Sugen Inc; WO 9640673 A 1996 CAPLUS

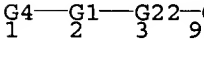
(3) Vertex Pharma; WO 9740028 A 1997 CAPLUS

(4) Widdowson, K; WO 9749399 A 1997 CAPLUS

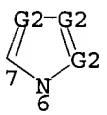
(5) Widdowson, K; WO 9749400 A 1997 CAPLUS

L8 ANSWER 19 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



G1 = 7-1 6-3



G2 = 14

C—G3
14

G22 = O
G26 = NH (SO)
G29 = phenylene (SO)
G40 = pyridyl (SO)
G41 = 313-98 314-286

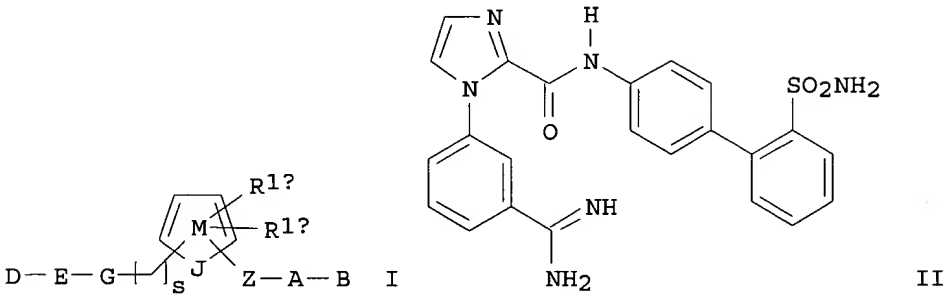
G27
|
G45—N
313 314

G45 = 359-98 360-314

G26—C(O)
359 360

DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted
STE: or stereoisomers

GI



I II

AB The title compds. [I; ring M contains, in addn. to J, 0-3 N atoms; J = N, NH; D = CN, C(:NR8)NR7R9, C(O)NR7R8, etc.; E = (un)substituted Ph, pyridyl, pyrimidinyl, etc.; DEG = R-substituted pyridyl; R = H, halo, CF3, etc.; G = absent, NHCH2, OCH2, etc.; Z = C1-4 alkylene, (CH2)rO(CH2)r, etc.; R1a, R1b = absent, NMe, OMe, etc.; A = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic contg. from 1-4 heteroatoms selected from N, O, and S; B = (un)substituted C3-10 carbocyclic residue, 5-10 membered heterocyclic contg. from 1-4 heteroatoms selected from N, O, and S, etc.; R7 = H, OH, C1-6 alkyl, etc.; R8, R9 = H, C1-6 alkyl, (CH2)nPh; n = 0-3; r = 0-3; s = 0-2], useful as inhibitors of factor Xa, were prepd. and formulated. Thus, treatment of 4-[o-(tert-BuSO2)phenyl]aniline with Me3Al/hexane in CH2Cl2 followed by

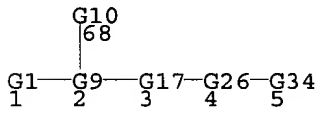
the addn. of Me 1-(3-cyanophenyl)imidazol-2-ylcarboxylate (prepn. described), and the Pinner reaction of the resulting intermediate afforded the title compd. II. A no. of compds. I were found to exhibit a Ki of .ltoreq. 10 .mu.M against factor Xa. Some compds. I were evaluated and found to exhibit Ki of < 10 .mu.M against thrombin.

AN 129:109090 MARPAT
TI Preparation of nitrogen-containing heteroaromatics as factor Xa inhibitors
IN Pinto, Donald Joseph Phillip; Pruitt, James Russell; Cacciola, Joseph; Fevig, John Matthew; Han, Qi; Orwat, Michael James; Quan, Mimi Lifen; Rossi, Karen Anita
PA The Dupont Merck Pharmaceutical Co., USA
SO PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

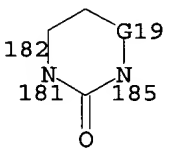
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9828269	A1	19980702	WO 1997-US22895	19971215
	W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9856020	A1	19980717	AU 1998-56020	19971215
	AU 730224	B2	20010301		
	EP 946508	A1	19991006	EP 1997-952409	19971215
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	CN 1246847	A	20000308	CN 1997-181852	19971215
	BR 9714073	A	20000509	BR 1997-14073	19971215
	JP 2001509145	T2	20010710	JP 1998-528845	19971215
	NO 9902633	A	19990820	NO 1999-2633	19990601
	LT 4673	B	20000725	LT 1999-76	19990622
	LV 12430	B	20000720	LV 1999-99	19990730
PRAI	US 1996-769859	19961223			
	US 1997-879944	19970620			
	WO 1997-US22895	19971215			

L8 ANSWER 20 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

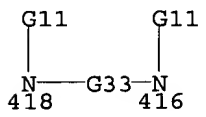


G24 = 181-2 185-4 182-180



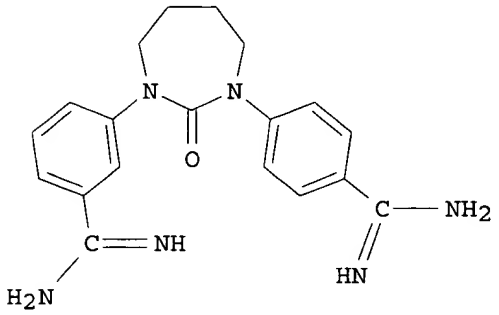
G27 = O
G28 = phenylene

G33 = C(O)
G40 = quinolinyl
G41 = 418-4 416-375



DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: additional ring formation also claimed
STE: or stereoisomers

GI



I

AB Title compds. and some related compds. were prepd. for use as anticoagulants (no data). Thus, 3-NCC6H4NH2 was treated with 4-NCC6H4NCO to give the urea which was cyclized with Br(CH2)4Br and subjected to aminolysis to give the diazepinone I.

AN 128:3708 MARPAT

TI N-(Amidinophenyl)-N'-substituted-3H-2,4-diazepin-3-one derivatives as factor Xa inhibitors

IN Maduskuie, Thomas Peter, Jr.; Galemmo, Robert Anthony, Jr.; Dominguez, Celia; Quan, Mimi Lifen; Rossi, Karen Anita; Stouten, Petrus Fredericus Wilhelmus; Sun, Jung Hui; Wells, Brian Lloyd

PA Du Pont Merck Pharmaceutical Company, USA

SO PCT Int. Appl., 183 pp.
CODEN: PIXXD2

DT Patent

LA English

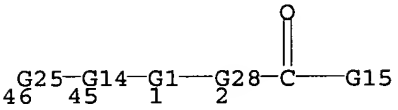
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9738984	A1	19971023	WO 1997-US6431	19970417
	W: AM, AU, AZ, BR, BY, CA, CN, CZ, EE, HU, IL, JP, KG, KR, KZ, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5925635	A	19990720	US 1997-838246	19970416
	CA 2251394	AA	19971023	CA 1997-2251394	19970417
	AU 9727339	A1	19971107	AU 1997-27339	19970417
	EP 960104	A1	19991201	EP 1997-921242	19970417

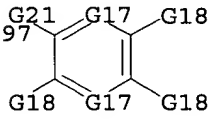
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
PRAI US 1996-15684 19960417
US 1996-647127 19960509
US 1997-42532 19970401
US 1997-838246 19970416
WO 1997-US6431 19970417

L8 ANSWER 21 OF 35 MARPAT COPYRIGHT 2001 ACS

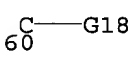
MSTR 1A



G1 = phenylene (SO (1-2) G2)
G14 = O
G15 = 97



G17 = N / 60



G21 = NH
G25 = Ph (SO (1-2) G2)
G28 = NH
MPL: claim 1
NTE: oxygen alternative in G37 is free radical

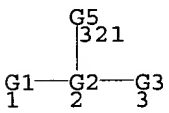
AB (R1)nP1A[P2(R2)m]NR3COR4 [R1, R2 = H, (substituted) alkyl; R3 = H, alkyl; R4 = (substituted) N-bonded bicycloheterocyclyl, aminopyrazinyl, aminopyridinyl, aminophenyl, etc.; P1, P2 = Ph, heterocyclyl contg. a quaternary N atom; A = bond, chain of 1-5 atoms (substituted) phenylene, heterocyclylene; n, m = 0-2], were prepd. as 5-HT2B/5-HT2C antagonists with increased soly./activity (no data). Thus, 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-(pyridin-3-yl)phenylcarbamoyl]indoline in MeCN was treated with sodium tetraphenylboron and bromomethyl acetate followed by 4 h reflux to give a tetraphenylborate salt which was subjected to ion exchange to give 100% 5-methoxy-6-trifluoromethyl-1-[3-fluoro-5-[1-(acetyloxy)methylpyridinium-3-yl]phenylcarbamoyl]indoline chloride.
AN 127:346304 MARPAT
TI Preparation of pyridinioarylcarbamoylindoline derivatives as serotonin receptor antagonists.
IN Bromidge, Steven Mark
PA Smithkline Beecham Plc, UK; Bromidge, Steven Mark
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9737989	A1	19971016	WO 1997-EP1611	19970326
	W: JP, US				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 891348	A1	19990120	EP 1997-915465	19970326
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2001508399	T2	20010626	JP 1997-535805	19970326
	US 6028085	A	20000222	US 1998-155589	19980930
PRAI	GB 1996-7219	19960404			
	WO 1997-EP1611	19970326			

L8 ANSWER 22 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1



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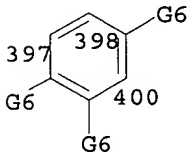
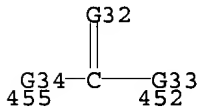


G4 = 455-2 452-418



G22 = O
G23 = Ph (SO)
G26 = pyridyl (SO)
G32 = O
G33 = NH
G34 = NH
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

AB Protein isoprenyl transferase inhibitors R3XC6H2R1R2R4 [R1 = H, alkyl, halo, aryl, heterocyclyl, etc.; R2 = (un)substituted Ph, CONHCHR5CO2R6 (R5 = alkyl, cycloalkyl, etc., R6 = H or protecting group); CONH-heterocyclyl, etc.; R3 = (un)substituted pyridyl or imidazolyl; R4 = H, alkyl, halo, aryl, etc.; X is absent or X1NR4X2, X1OX2 (X1 = absent, alkylene, or

alkenylene; X2 = absent, CH2, CH2CH2, CHMe, etc.)] were prepd. Thus, [4-(3-pyridyloxymethylene)-2-phenoxybenzoyl]methionine (I) was prepd. by coupling of 4-(3-pyridyloxymethylene)-2-phenoxybenzoic acid (synthesis described) with methionine Me ester hydrochloride, followed by sapon. Compd. I showed 92% inhibition of protein farnesyl transferase at 1 .mu.M.

AN 127:51002 MARPAT

TI Inhibitors of protein isoprenyl transferases

IN Sebti, Said M.; Hamilton, Andrew D.; Rosenberg, Saul H.; Augeri, David J.; Barr, Kenneth J.; Donner, Bernard G.; Fakhhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Shen, Wang; Swenson, Rolf E.; Sorenson, Bryan K.; Sullivan, Gerard M.; Szczepankiewicz, Bruce; Tasker, Andrew S.; Wasicak, James T.; Winn, Martin

PA University of Pittsburgh, USA

SO PCT Int. Appl., 260 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9717070	A1	19970515	WO 1996-US17092	19961105
	W: AU, BR, CA, CN, CZ, HU, IL, JP, KR, MX, NZ				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9675975	A1	19970529	AU 1996-75975	19961105
	EP 873123	A1	19981028	EP 1996-938647	19961105
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000500745	T2	20000125	JP 1997-518208	19961105
PRAI	US 1995-7247	19951106			
	WO 1996-US17092	19961105			

L8 ANSWER 7 OF 35 MARPAT COPYRIGHT 2001 ACS

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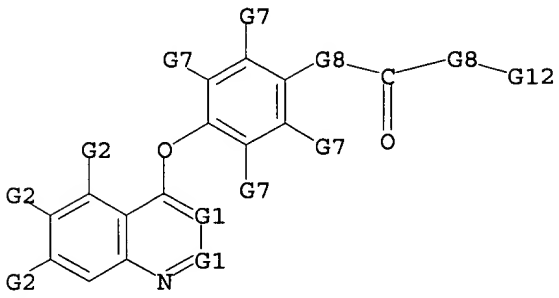
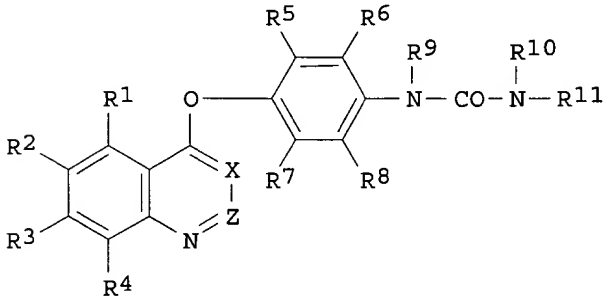


G1 = CH
G8 = NH
G12 = pyridyl (SO (1-) G23)
DER: and pharmaceutically acceptable salts or solvates
MPL: claim 1

GI



I

AB Title compds. [I; X and Z represent each CH or N; R1-3 represent each H, optionally substituted alkoxy, etc.; R4 represents H; R5-8 represent each H, halogeno, alkyl, alkoxy, alkylthio, nitro or amino, provided that all of R5-8 do not represent H simultaneously; R9 and R10 represent each H, alkyl or alkylcarbonyl; and R11 represents alkyl, alkenyl, alkynyl or aralkyl], pharmaceutically acceptable salts and solvates, and medicinal compns. contg. the same are prepd. and tested having antitumor activity and causing no morphol. change in cells. Thus, the title compd. I (X = CH; Z = CH; R1, R4, R5,R7-R10 each an H; R11 = 3,5-F2C6H3) was prepd. and tested.

AN 133:135235 MARPAT

TI Preparation and anti-tumor, anti-atherosclerosis, anti-psoriasis, anti-diabetes, and anti-arthritis activities of quinolines and quinazolines

IN Kubo, Kazuo; Fujiwara, Yasunari; Isoe, Toshiyuki

PA Kirin Beer Kabushiki Kaisha, Japan

SO PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000043366	A1	20000727	WO 2000-JP255	20000120
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	BR 2000007656	A	20011030	BR 2000-7656	20000120
	EP 1153920	A1	20011114	EP 2000-900841	20000120
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	NO 2001002617	A	20010914	NO 2001-2617	20010529

PRAI JP 1999-14858 19990122
JP 1999-26691 19990203
JP 1999-142493 19990521
JP 1999-253624 19990907
WO 2000-JP255 20000120
RE.CNT 6
RE
(1) Kirin Brewery Company Limited; EP 860433 A CAPLUS
(2) Kirin Brewery Company Limited; WO 9717329 A1 1997 CAPLUS
(3) Kirin Brewery Company Limited; JP 11158149 A 1999 CAPLUS
(4) The Well Come Foundation Ltd; JP 10505600 A
(5) The Well Come Foundation Ltd; EP 782570 A CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

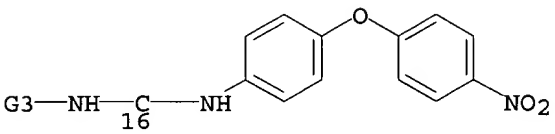
Print selected from Online session27/12/2001

L8 ANSWER 13 OF 35 MARPAT COPYRIGHT 2001 ACS

MSTR 1

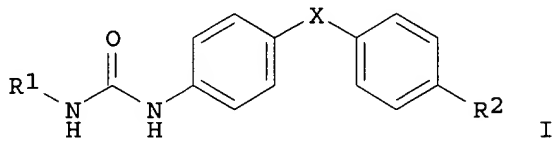
G1═O

G1 = 16

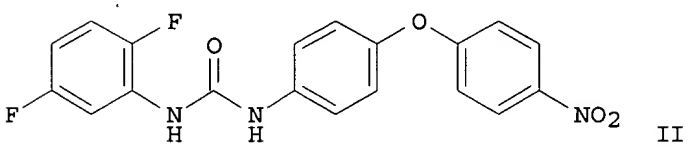


G3 = pyridyl
MPL: claim 1

GI



I



II

AB The invention relates to 1,3-disubstituted ureas I [R1 = (un)substituted aryl; R2 = NO2, NH2; X = O, S], and a method of prepg. them by treating arom. amines with isocyanates. The isocyanates may be formed in situ, and the reaction carried out in a solvent such as toluene, at, e.g., 80.degree.C. If a nitro group is formed, it may be reduced with H2 in the presence of a Pd catalyst to give an amino group. The obtained 1,3-disubstituted ureas are inhibitors of the activity of the enzyme acyl co-enzyme A:cholesterol acyltransferase (ACAT), and may be used to inhibit cholesterol esterification and absorption in hypercholesterolemia. For instance, reaction of 4-(4'-nitrophenoxy)aniline with 2,5-difluorophenyl isocyanate gave 76% title compd. II. The latter gave 49% inhibition of rat liver ACAT at 2 .mu.M, and 58% inhibition of ACAT in rabbit intestinal mucosa, at the same concn., both in vitro.

AN 131:73441 MARPAT

TI 1,3-Disubstituted ureas useful as ACAT inhibitors, and method for their preparation

IN Oremus, Vladimir; Smahovsky, Vendelin; Faberova, Viera; Kakalik, Ivan; Schmidtova, Ludmila; Zemanek, Marian

PA Slovako- Farma, A.S., Slovakia

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9932437	A1	19990701	WO 1998-SK19	19981216
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	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9916976	A1	19990712	AU 1999-16976	19981216
	EP 1042278	A1	20001011	EP 1998-961715	19981216
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
	JP 2001526259	T2	20011218	JP 2000-525374	19981216
PRAI	SK 1997-1751	19971219			
	WO 1998-SK19	19981216			

RE.CNT 2
RE
(1) Becker, H; US 3284433 A 1966 CAPLUS
(2) Nippon Paper Industries; EP 0709225 A 1996 CAPLUS